CLAIMS
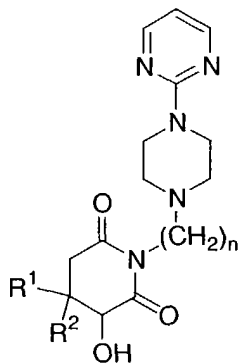
We claim:

1.   A process for preparing hydroxyazapirones of Formula I,
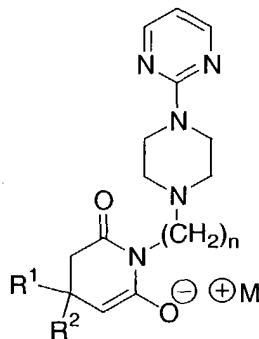

I

5   wherein

R^1 and R^2 are independently hydrogen, C_{1-6}alkyl, or

R^1 and R^2 taken together are $-CH_2(CH_2)_{0-5}CH_2-$, and

n is an integer from 2 to 5,

comprising reacting a compound of Formula III

10   III

wherein $M\oplus$ is an alkali or alkaline earth metal cation
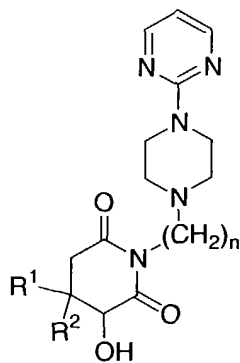
with molecular oxygen in the presence of a reductant to provide a Formula I product.

2.   The process of claim 1 wherein the source of molecular oxygen is air or

15   oxygen gas.

3.   The process of claim 1 wherein the reductant is selected from the group

consisting of triarylphosphites, trialkyl- and triaryl phosphines, thiourea, sodium

borohydride, copper (II) chloride with iron (II) sulfate, iron (III) chloride, titanium isopropoxide, dimethyl sulfide, diethyldisulfide, sodium sulfite, sodium thiosulfate, zinc and acetic acid, and 1-propene.

5   4.   The process of claim 1 wherein the reductant is $tri(C_{1-8})$alkylphosphite.

5.   The process of claim 4 wherein the reductant is triethyl phosphite.

6.   The process of claim 1 where R^1 and R^2 are independently selected from

10   hydrogen and C_{1-6}alkyl.

7.   The process of claim 6 where R^1 and R^2 are methyl and n is 4.

8.   The process of claim 1 where R^1 and R^2 taken together are $-CH_2(CH_2)_{0-5}CH_2-$.

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9.   The process of claim 8 where R^1 and R^2 taken together are $-CH_2CH_2CH_2CH_2-$ and n is 4.

10.   A process for preparing hydroxyazapirones of Formula I,
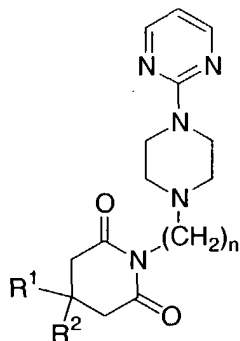

20   I

wherein

R^1 and R^2 are independently hydrogen, C_{1-6}alkyl, or where

R^1 and R^2 taken together are $-CH_2(CH_2)_{0-5}CH_2-$, and
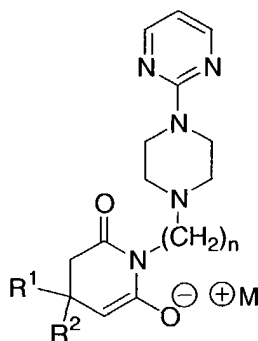
n is an integer from 2 to 5,

25   comprising

(a) reacting an azapirone compound of Formula II

II

with a strong base to form an intermediate imide enolate anion of Formula III

III

5

(b) reacting the imide enolate III with molecular oxygen in the presence of a reductant.

11. The process of claim 10 wherein the source of molecular oxygen is air or

10 oxygen gas.

12. The process of claim 10 wherein the strong base is selected from the group consisting of lithium bis(trimethylsilyl)amide, sodium bis(trimethylsilyl)amide, potassium bis(trimethylsilyl)amide, lithium dialkylamide, sodium dialkylamide,

15 potassium dialkylamide, sodamide, lithium alkoxide, sodium alkoxide, potassium alkoxide, lithium hydride, sodium hydride, and potassium hydride.

13. The process of claim 12 wherein the base is sodium bis(trimethylsilyl)amide.

14.   The process of claim 10 wherein the imide enolate anion III formation is maximized by the use of spectroscopy to monitor conversion of II to III.

5   15.   The process of claim 14 wherein IR spectroscopy is used to monitor conversion of II to III.

16.   The process of claim 10 wherein the reductant is selected from the group consisting of triarylphosphites, trialkyl- and triaryl phosphines, thiourea, sodium

10   borohydride, copper (II) chloride with iron (II) sulfate, iron (III) chloride, titanium isopropoxide, dimethyl sulfide, diethyldisulfide, sodium sulfite, sodium thiosulfate, zinc and acetic acid, and 1-propene.

17.   The process of claim 10 wherein the reductant is $tri(C_{1-8})$alkylphosphite.

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18.   The process of claim 17 wherein the reductant is triethyl phosphite.

19.   The process of claim 1 where R^1 and R^2 are independently selected from hydrogen and C_{1-6}alkyl.

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20.   The process of claim 19 where R^1 and R^2 are methyl and n is 4.

21.   The process of claim 10 where R^1 and R^2 taken together are $-CH_2(CH_2)_{0-5}CH_2-$.

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22.   The process of claim 21 where R^1 and R^2 taken together are $-CH_2CH_2CH_2CH_2-$ and n is 4.